1 1. (Original) Compounds having the structure of Formula I:

- 5 Formula I
- 6 and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,
- 7 esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites,
- 8 wherein
- 9 Ar represents an aryl or a heteroaryl ring having 1-2 hetero atoms selected from the group
- 10 consisting of oxygen, sulphur and nitrogen atoms, the aryl or heteroaryl rings may be
- unsubstituted or substituted by one to three substituents independently selected from lower
- 12 alkyl (C₁-C₄), lower perhaloalkyl (C₁-C₄), cyano, hydroxy, nitro, halogen (e.g. F, Cl, Br,
- 13 I), lower alkoxy (C_1-C_4) , lower perhalo- alkoxy (C_1-C_4) , unsubstituted amino, N-lower
- 14 alkylamino (C_1-C_4) or N-lower alkylamino carbonyl (C_1-C_4) ;
- 15 R₁ represents a hydrogen, hydroxy, hydroxymethyl, amino, alkoxy, carbamoyl or halogen
- 16 (e.g. fluorine, chlorine, bromine and iodine);
- R_2 represents alkyl, C_3 - C_7 cycloalkyl ring in which any 1-4 hydrogen atoms are
- substituted with halogen (e.g. F, Cl, Br, I), carbamoyl or lower alkyl;
- 19 W represents $(CH_2)_p$, where p represents 0 to 1;
- 20 X represents an oxygen, sulphur, NR or no atom wherein R represents
- 21 hydrogen or C_1 - C_6 alkyl;
- 22 Y represents CHR₅CO wherein R₅ represents hydrogen, methyl or (CH₂)q
- wherein q represents 0 to 4;
- R_3 represents hydrogen, lower alkyl or $CO_2C(CH_3)_3$;

- 25 R₆ and R₇ are independently selected from H, lower alkyl, COOH, CONH₂, NH₂,
- 26 CH₂NH₂; and
- 27 R₄ represents C₁-C₁₅ saturated or unsaturated aliphatic hydrocarbon (straight chain or
- branched) in which any 1 to 6 hydrogen atoms may be substituted with the group
- 29 independently selected from halogen, arylalkyl, arylalkenyl, heteroarylalkyl or
- 30 heteroarylalkenyl having 1 to 2 hetero atoms selected from the group consisting of
- 31 nitrogen, oxygen and sulphur atoms with an option that any 1 to 3 hydrogen atoms on an
- 32 aryl or heteroaryl ring in said arylalkyl, arylalkenyl, heteroarylalkenyl group may be
- 33 substituted with lower alkyl (C₁-C₄), lower perhalo alkyl (C₁-C₄), cyano, hydroxy, nitro,
- lower alkoxycarbonyl, halogen, lower alkoxy (C_1-C_4) , lower perhaloalkoxy (C_1-C_4) ,
- unsubstituted amino, N-lower alkylamino (C₁-C₄), or N-lower alkylamino carbonyl (C₁-
- 36 C₄).
- 1 2. (Original) A compound according to claim 1 having the structure of Formula
- 2 II and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
- 3 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, metabolites, wherein
- 4 Ar, R₁, R₂, W, X, Y, R₃ and R₄ are as defined for formula I.

8 Formula II

- 1 3. (Original) A compound according to claim 1 having the structure of Formula
- 2 III and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
- 3 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, metabolites, wherein Ar, R₁,
- 4 R_2 , R_3 and R_4 are as defined for Formula I.

- 1 4. (Original) A compound according to claim 1 having the structure of Formula
- 2 IV and its pharmaceutically acceptable salts, esters, enantiomers, diastereomers, N-oxides,
- 3 prodrugs, or metabolites wherein R₃ and R₄ are as defined for Formula I, and s represents
- 4 1 to 2, R_9 is H or F and R_{10} is F.

$$\begin{array}{c|c} & H \\ \hline \\ C \\ \hline \\ R_9 \\ \hline \\ R_{10} \end{array}$$

5

- 1 5. (Original) A compound selected from the group consisting of
- 2 (2S)- $(1\infty, 5\infty, 6\infty)$ -6-N-[3-benzyl-3- azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3-
- 3 oxocyclohexyl]-2-hydroxy-2-phenylacetamide
- 4 (2S)- $(1\infty, 5\infty, 6\infty)$ -6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2[(1R or 1S, 3R or
- 5 3S)-3-(fluorocyclohexyl]-2-hydroxy-2-phenylacetamide
- 6 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S, 3R or
- 7 3S)-3-fluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 8 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-2[(1R or 1S)-3, 3-
- 9 difluorocyclohexyl]-2-hydroxy-2-phenylacetamide
- 10 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3,3-
- difluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 12 $(2R)-(1\alpha, 5\alpha, 6\alpha)-6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3,3-$
- difluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 14 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(2-(3,4-methylenedioxyphenyl)ethyl]-3-
- azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3, 3-difluorocyclohexyl]-2-hydroxy-2-
- 16 phenylacetamide

- 17 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(2-(3, 4-methylenedioxyphenyl)ethyl]-3-
- azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3, 3-difluorocyclopentyl]-2-hydroxy-2-
- 19 phenylacetamide
- 20 (2R)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(2-(3,4-methylenedioxyphenyl)ethyl]-3-
- 21 azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3, 3-difluorocyclopentyl]-2-hydroxy-2-
- 22 phenylacetamide
- 23 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(2-(3, 4-methylenedioxyphenyl)ethyl]-3-
- 24 azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S, 3R or 3S)-3-fluorocyclohexyl]-2-hydroxy-
- 25 2-phenylacetamide
- 26 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(2-(3, 4-methylenedioxyphenyl)ethyl]-3-
- 27 azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S, 3R or 3S)-3-fluorocyclopentyl]-2-hydroxy-
- 28 2-phenylacetamide
- 29 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-[(1R
- or 1S)-3, 3-difluorocyclohexyl]-2-hydroxy-2-phenylacetamide
- 31 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-[(1R
- or 1S)-3, 3-difluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 33 $(2R)-(1\alpha, 5\alpha, 6\alpha)-6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-$
- 34 [(1R or 1S)-3, 3-difluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 35 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-[(1R
- or 1S, 3R or 3S)-3-fluorocyclohexyl]-2-hydroxy-2-phenylacetamide
- 37 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-[(1R
- or 1S, 3R or 3S)-3-fluorocyclopentyl]-2-hydroxy-2-phenylacetamide.
- 1 6. (Original) A pharmaceutical composition comprising a therapeutically
- 2 effective amount of a compound as defined in any of claims 1-5 together with
- 3 pharmaceutically acceptable carriers, excipients or diluents.

- 1 7. (Original) A method for treatment or prophylaxis of an animal or a human
- 2 suffering from a disease or disorder of the respiratory, urinary and gastrointestinal
- 3 systems, wherein the disease or disorder is mediated through muscarinic receptors,
- 4 comprising administering to said animal or human, a therapeutically effective amount of a
- 5 compound having the structure of Formula I,

- 9 Formula I
- and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
- enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, metabolites, wherein
- 12 Ar represents an aryl or a heteroaryl ring having 1-2 hetero atoms selected from the group
- consisting of oxygen, sulphur and nitrogen atoms, the aryl or heteroaryl rings may be
- unsubstituted or substituted by one to three substituents independently selected from lower
- alkyl (C₁-C₄), lower perhaloalkyl (C₁-C₄), cyano, hydroxy, nitro, halogen (e.g. F, Cl, Br,
- 16 I), lower alkoxy (C₁-C₄), lower perhalo- alkoxy (C₁-C₄), unsubstituted amino, N-lower
- 17 alkylamino (C_1-C_4) or N-lower alkylamino carbonyl (C_1-C_4) ;
- 18 R₁ represents a hydrogen, hydroxy, hydroxymethyl, amino, alkoxy, carbamoyl or halogen
- 19 (e.g. fluorine, chlorine, bromine and iodine);
- 20 R₂ represents alkyl, C₃-C₇ cycloalkyl ring in which any 1-4 hydrogen atoms are
- substituted with halogen (e.g. F, Cl, Br, I), carbamoyl or lower alkyl;
- 22 W represents $(CH_2)_p$, where p represents 0 to 1;
- 23 X represents an oxygen, sulphur, NR or no atom wherein R represents
- 24 hydrogen or C₁-C₆ alkyl;
- 25 Y represents CHR₅CO wherein R₅ represents hydrogen, methyl or (CH₂)q
- wherein q represents 0 to 4;

- 27 R₃ represents hydrogen, lower alkyl or CO₂C(CH₃)₃;
- 28 R₆ and R₇ are independently selected from H, lower alkyl, COOH, CONH₂, NH₂,
- 29 CH₂NH₂; and
- 30 R₄ represents C₁-C₁₅ saturated or unsaturated aliphatic hydrocarbon (straight chain or
- branched) in which any 1 to 6 hydrogen atoms may be substituted with the group
- 32 independently selected from halogen, arylalkyl, arylalkenyl, heteroarylalkyl or
- 33 heteroarylalkenyl having 1 to 2 hetero atoms selected from the group consisting of
- nitrogen, oxygen and sulphur atoms with an option that any 1 to 3 hydrogen atoms on an
- aryl or heteroaryl ring in said arylalkyl, arylalkenyl, heteroarylalkenyl group may be
- substituted with lower alkyl (C_1 - C_4), lower perhalo alkyl (C_1 - C_4), cyano, hydroxy, nitro,
- lower alkoxycarbonyl, halogen, lower alkoxy (C_1-C_4) , lower perhaloalkoxy (C_1-C_4) ,
- unsubstituted amino, N-lower alkylamino (C₁-C₄), N-lower alkylamino carbonyl (C₁-C₄).
- 1 8. (Original) The method according to claim 7 for treatment or prophylaxis of an
- 2 animal or a human suffering from a disease or disorder of the respiratory, urinary and
- 3 gastrointestinal systems, wherein the disease or disorder is mediated through muscarinic
- 4 receptors, comprising administering to said animal or human, a therapeutically effective
- 5 amount of a compound having the structure of Formula II and its pharmaceutically
- 6 acceptable salts, pharmaceutically acceptable solvates, esters enantiomers, diastereomers,
- N-oxides, polymorphs, prodrugs or metabolites, wherein Ar, R₁, R₂, W, X, Y, R₃ and R₄
- 8 are as defined for Formula I.

1

12 Formula II

- 9. (Original) The method according to claim 7 for treatment or prophylaxis of an
- 2 animal or a human suffering from a disease or disorder of the respiratory, urinary and
- 3 gastrointestinal systems, wherein the disease or disorder is mediated through muscarinic
- 4 receptors, comprising administering to said animal or human, a therapeutically effective
- 5 amount of a compound having the structure of Formula III and its pharmaceutically

- 6 acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers,
- N-oxides, polymorphs, prodrugs or metabolites, wherein Ar, R₁, R₂, R₃ and R₄ are as
- 8 defined for Formula I.

9
$$Ar \xrightarrow{R_1} C \xrightarrow{N_1} N - R_2$$
10
Formula - III

1 10. (Original) The method according to claim 7 for treatment or prophylaxis of an

2 animal or a human suffering from a disease or disorder of the respiratory, urinary and

3 gastrointestinal systems, wherein the disease or disorder is mediated through muscarinic

4 receptors, comprising administering to said animal or human, a therapeutically effective

5 amount of a compound having the structure of Formula-IV and its pharmaceutically

6 acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers,

N-oxides, polymorphs, prodrugs or metabolites, wherein R₃ and R₄ are as defined for

8 Formula I, s represents 1 to 2, R_9 =H or F, and R_{10} =F.

$$\begin{array}{c|c} & & & \\ &$$

9

- 1 11. (Original) The method according to claim 7 wherein the disease or disorder is
- 2 urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic
- 3 obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome,
- 4 obesity, diabetes and gastrointestinal hyperkinesis.
- 1 12. (Original) The method according to claim 8 wherein the disease or disorder is
- 2 urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic
- 3 obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome,
- 4 obesity, diabetes and gastrointestina hyperkinesis.

- 1 13. (Original) The method of claim 9 wherein the disease or disorder is urinary
- 2 incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic obstructive
- 3 pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome, obesity,
- 4 diabetes and gastrointestina hyperkinesis.
- 1 14. (Original) The method of claim 10 wherein the disease or disorder is urinary
- 2 incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic obstructive
- 3 pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome, obesity,
- 4 diabetes and gastrointestina hyperkinesis.
- 1 15. (Original) The method for treatment or prophylaxis of an animal or a human
- 2 suffering from a disease or disorder of the respiratory, urinary and gastrointestinal
- 3 systems, wherein the disease or disorder is mediated through muscarinic receptors,
- 4 comprising administering to said animal or human, a therapeutically effective amount of
- 5 the pharmaceutical composition according to claim 6.
- 1 16. (Original) The method according to claim 15 wherein the disease of disorder is
- 2 urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic
- 3 obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome,
- 4 obesity, diabetes and gastrointestina hyperkinesis.
- 1 17. (Original) A process of preparing compounds of Formula I,

5 Formula I

- 6 and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
- 7 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein
- 8 Ar represents an aryl or a heteroaryl ring having 1-2 hetero atoms selected from the group
- 9 consisting of oxygen, sulphur and nitrogen atoms, the aryl or heteroaryl rings may be
- 10 unsubstituted or substituted by one to three substituents independently selected from lower

11	alkyl (C ₁ -C ₄), lower perhaloalkyl (C ₁ -C ₄), cyano, hydroxy, nitro, halogen (e.g. F, Cl, Br,
12	I), lower alkoxy (C ₁ -C ₄), lower perhalo- alkoxy (C ₁ -C ₄), unsubstituted amino, N-lower
13	alkylamino (C ₁ -C ₄) or N-lower alkylamino carbonyl (C ₁ -C ₄);
14	R_1 represents a hydrogen, hydroxy, hydroxymethyl, amino, alkoxy, carbamoyl or
15	halogen (e.g. fluorine, chlorine, bromine and iodine);
16	R ₂ represents alkyl, C ₃ -C ₇ cycloalkyl ring in which any 1-4 hydrogen atoms are
17	substituted with halogen (e.g. F, Cl, Br, I), carbamoyl or lower alkyl;
18	W represents $(CH_2)_p$, where p represents 0 to 1;
19	X represents an oxygen, sulphur, NR or no atom wherein R represents
20	hydrogen or C ₁ -C ₆ alkyl;
21	Y represents CHR ₅ CO wherein R ₅ represents hydrogen, methyl or (CH ₂)q
22	wherein q represents 0 to 4;
23	R ₃ represents hydrogen, lower alkyl or CO ₂ C(CH ₃) ₃ ;
24	R ₆ and R ₇ are independently selected from H, lower alkyl, COOH, CONH ₂ , NH ₂ ,
25	CH ₂ NH ₂ ; and
26	R ₄ represents C ₁ -C ₁₅ saturated or unsaturated aliphatic hydrocarbon (straight chain or
27	branched) in which any 1 to 6 hydrogen atoms may be substituted with the group
28	independently selected from halogen, arylalkyl, arylalkenyl, heteroarylalkyl or
29	heteroarylalkenyl having 1 to 2 hetero atoms selected from the group consisting of
30	nitrogen, oxygen and sulphur atoms with an option that any 1 to 3 hydrogen atoms on an
31	aryl or heteroaryl ring in said arylalkyl, arylalkenyl, heteroarylalkenyl group may be
32	substituted with lower alkyl (C ₁ -C ₄), lower perhalo alkyl (C ₁ -C ₄), cyano, hydroxy, nitro,
33	lower alkoxycarbonyl, halogen, lower alkoxy (C ₁ -C ₄), lower perhaloalkoxy (C ₁ -C ₄),
34	unsubstituted amino, N-lower alkylamino (C ₁ -C ₄), N-lower alkylamino carbonyl (C ₁ -C ₄),
35	comprising
36	(a) condensing a compound of Formula VI with a compound of Formula V

- 10 -

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39
$$Ar \xrightarrow{R_1} W - C - OH$$
40
 $Ar \xrightarrow{R_2} O$
 $Ar \xrightarrow{R_2} O$
Formula VI
Formula VI

wherein Ar, R₁, R₂, W, X, Y, R₃, R₆ and R₇ are as defined earlier for Formula I, to give a protected compound of Formula VII wherein Ar, R₁, R₂, W, X, Y, R₃, R₆ and R₇ are as defined earlier and P is a protecting group for an amino group,

46
47
$$Ar \xrightarrow{R_1} W \xrightarrow{C} X \xrightarrow{H} R_3$$

$$R_2 \xrightarrow{H} R_6$$

49 Formula VII

(b) deprotecting the compound of Formula VII in the presence of a deprotecting agent to give an unprotected compound of Formula VIII wherein Ar, R₁, R₂, R₃, W, X, Y, R₃, R₆ and R₇ are as defined earlier, and

56 Formula VIII

- 57 (c) N-alkylated or benzylated the compound of Formula VIII with a suitable 58 alkylating or benzylating agent to give compounds of Formula I wherein 59 Ar, R₁, R₂, W, X, Y, R₃, R₄, R₆ and R₇ are as defined earlier.
- 1 18. 26. (Cancelled).

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1 27. (Original) A process of preparing compounds of Formula IV,

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3 and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, 4 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites, wherein R₃ represents hydrogen, lower alkyl or CO₂C(CH₃)₃; R₄ represents C₁-C₁₅ saturated or 5 6 unsaturated aliphatic hydrocarbon (straight chain or branched) in which any 1 to 6 7 hydrogen atoms may be substituted with the group independently selected from halogen, arylalkyl, arylalkenyl, heteroarylalkyl or heteroarylalkenyl having 1 to 2 hetero atoms 8 9 selected from the group consisting of nitrogen, oxygen and sulphur atoms with an option that any 1 to 3 hydrogen atoms on an aryl or heteroaryl ring in said arylalkyl, arylalkenyl, 10 11 heteroarylalkenyl group may be substituted with lower alkyl (C₁-C₄), lower perhalo alkyl 12 (C₁-C₄), cyano, hydroxy, nitro, lower alkoxycarbonyl, halogen, lower alkoxy (C₁-C₄), lower perhaloalkoxy (C₁-C₄), unsubstituted amino, N-lower alkylamino (C₁-C₄), N-lower 13

(a) condensing a compound of Formula IX with a compound of Formula X

alkylamino carbonyl (C1-C4); s represents 1 to 2, R9 is H or F and R10 is F, comprising

wherein R₃ and R₄ are as defined earlier for Formula I, s represents 1 to 2, R₉ is H or F and R₁₀ is F, to give a protected compound of Formula XI wherein R₃, R₄, s, R₉ and R₁₀ are as defined earlier and P is a protecting group for an amino group,

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25 Formula XI

26 (b) deprotecting the compound of Formula XI in the presence of a deprotecting 27 agent to give an unprotected compound of Formula XII wherein R₃, R₄, s, 28 R₉ and R₁₀ are as defined earlier, and

32 Formula XII

- 33 (c) N-alkylated or benzylated the compound of Formula XII with a suitable
 34 alkylating or benzylating agent to give compounds of Formula IV wherein
 35 R₃, R₄, s, R₉ and R₁₀ are as defined earlier.
- 1 28. 36. (Cancelled).